

STIC Search Report

STIC Database Tracking Number: 148799

TO: Ben Sackey Location: REM 5B1

Art Unit: 1626 March 31, 2005

Case Serial Number: 10/725167

From: Kathleen Fuller Location: EIC 1700 REMSEN 4B28

Phone: 571/272-2505

Kathleen.Fuller@uspto.gov

Search Notes		
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Access DB# 148799

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BEA Art Unit: 1696 Phone N Mail Box and Bldg/Room Location	Number 3季 <u>ス-0つの</u> に	YExaminer #: 73489 Date: 3/24/05
If more than one search is subm		ze searches in order of need.
Please provide a detailed statement of the Include the elected species or structures, k utility of the invention. Define any terms known. Please attach a copy of the cover s	search topic, and describe eywords, synonyms, acro that may have a special m sheet, pertinent claims, and	as specifically as possible the subject matter to be searched. nyms, and registry numbers, and combine with the concept or eaning. Give examples or relevant citations, authors, etc, if I abstract.
Title of Invention: No ~el	5~200	tant5
Title of Invention:	Thillo 21	t ac.
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Earliest Priority Filing Date: <u>4</u>		 ·
For Sequence Searches Only Please include appropriate serial number.	de all pertinent information	(parent, child, divisional, or issued patent numbers) along with the
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Date Searcher Picked Up: 3/3//05	Bibliographic	Dr.Link
Date Completed: 3/ 3/ 0	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

PTO-1590 (8-01)

A Comment

SACKEY 10/725167 3/31/05 Page 1

=> FILE REG

FILE 'REGISTRY' ENTERED AT 16:30:38 ON 31 MAR 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 MAR 2005 HIGHEST RN 847643-36-1 DICTIONARY FILE UPDATES: 30 MAR 2005 HIGHEST RN 847643-36-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 16:30:44 ON 31 MAR 2005
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FILE COVERS 1907 - 31 Mar 2005 VOL 142 ISS 14 FILE LAST UPDATED: 30 Mar 2005 (20050330/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> D QUE L10

STR

64 structures from the query



VPA 13-1/6 U NODE ATTRIBUTES: CONNECT IS E2 RC AT 10 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

CA references
12 CA references
on preparation 64 SEA FILE=REGISTRY SSS FUL L10 L12 51 L13 51 SEA FILE=HCAPLUS ABB=ON L12

L15 12 SEA FILE=HCAPLUS ABB=ON L13(L)PREP/RL

=> D L15 BIB ABS IND HITSTR 1-12

L15 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1054334 HCAPLUS

DN 142:45820

ΤI Surfactants for use in light-insensitive thermographic recording materials

IN Defieuw, Geert; Loccufier, Johan; Van Steen, Luc; Van Thillo, Etienne

PA Agfa-Gevaert, Belg.

SO Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DT Patent

English T.A

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ΡI	ΕP	1484	640			A1		2004	1208	E	20	003-	1016	62		20	00306	506
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY, F	L,	TR,	BG,	CZ,	EE,	HU,	SK	
	US 2005053871					A1		2005	0310	US 2003-601361						20030623		
	JΡ	2004	3589	34		A2		2004	1224	JI	2 (003-	1812	30		20	00306	525
PRAI	EΡ	2003	-101	662		Α		2003	0606									
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GI

$$M-O-S \longrightarrow N$$

Ι

AB A substantially light-insensitive monosheet thermog. recording material comprises a support and on one side of said support a thermosensitive element, wherein said thermog. recording material contains at least one compound represented by the formula I: (M = H, alkali, ammonium; R1 = alkyl, alkenyl-, alkynyl-, thioalkyl-, thioalkenyl-, thioalkynyl-, in which the alkyl-, alkenyl- or alkynyl- group has 6-25 carbons; X = -O-, -S-, -N(R2)-; R2 = H, -(CH2)mSO3M, CH2-C6H6-SO3M; m = 1-5). The object of the present invention is to provide substantially light-insensitive thermog. recording materials containing alternative photog. inactive surfactants, which enhances the adhesion of hydrophilic layers to hydrophobic supports, has no photog. active impurities and is compatible with image-wise heating with a thermal head when incorporated into the outermost layer.

IC ICM G03C001-498

CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)

ST surfactant thermog photothermog recording material

IT Photographic films

(heat-developable; surfactants for use in light-insensitive thermog. recording materials)

IT Surfactants

(surfactants for use in light-insensitive thermog. recording materials)

IT 805237-08-5 805237-12-1

RL: TEM (Technical or engineered material use); USES (Uses) (surfactant; mixture containing; surfactants for use in light-insensitive thermog. recording materials)

IT 743423-33-8P 805237-10-9P 805237-11-0P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(surfactants for use in light-insensitive thermog. recording materials)

IT 112-82-3, Cetyl bromide 1633-83-6, Butanesultone 53918-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of surfactants for use in light-insensitive thermog. recording materials)

IT 743423-33-8P 805237-10-9P 805237-11-0P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(surfactants for use in light-insensitive thermog. recording materials)

RN 743423-33-8 HCAPLUS

CN 1H-Benzimidazole-5-sulfonic acid, 2-(hexadecylthio)-, monosodium salt (9CI) (CA INDEX NAME)

SACKEY 10/725167 3/31/05 Page 4

🕨 Na

RN 805237-10-9 HCAPLUS

CN 1H-Benzimidazole-1-butanesulfonic acid, 2-(hexadecylthio)-6-sulfo-, disodium salt (9CI) (CA INDEX NAME)

N S-
$$(CH_2)_{15}$$
- Me

(CH₂)₄- SO₃H

2 Na

RN 805237-11-0 HCAPLUS

CN 1H-Benzimidazole-1-butanesulfonic acid, 2-(hexadecylthio)-5-sulfo-, disodium salt (9CI) (CA INDEX NAME)

$$N = (CH_2)_{15} - Me$$

(CH₂)₄-SO₃H

●2 Na

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicants

L15 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:691447 HCAPLUS

DN 141:215717

TI Surfactants for photographic material

IN Van Thillo, Etienne; Loccufier, Johan; Andries, Hartwig

PA Agfa-Gevaert, Belg.

SO U.S., 15 pp. CODEN: USXXAM

CODEN: USAAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
PI	US 6780576	B1 20040824	US 2003-601788	20030623		
	EP 1484323	A1 20041208	EP 2003-101661	20030606		
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
	IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ, EE,	HU, SK		
	JP 2004359649	A2 20041224	JP 2003-186994	20030630		
	US 2004249166	A1 20041209	US 2003-725167	20031201		
PRAI	EP 2003-101661	A 20030606				
	US 2003-601788	A3 20030623				
os	MARPAT 141:215717					
GI						

III

The present invention relates to a compound represented by formula I or II (M = H, alkali atom or an ammonium group; R1 = H, -(CH2)mSO3M group, III; R2 = alkyl, alkenyl, C6-25 alkynyl; m = 1-5) or a mixture of at least one compound represented by formula I with at least one compound represented by formula II; the use of as a surfactant; and a photog. material comprising a support and a layer containing photosensitive silver halide, characterized in that the photog. material contains at least one compound represented by the above-mentioned formula I, at least one compound represented by the above-mentioned formula II or a mixture of at least one compound represented by the above-mentioned formula I and at least one compound represented by the above-mentioned formula II.

IC ICM G03C001-38

ICS G03C001-91; C07D235-28

NCL 430523000; 430535000; 430536000; 430537000; 430636000; 548307100

CC 74-7 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)

ST surfactant photog support emulsion

IT Photographic emulsions

Surfactants

(surfactants for photog. material)

IT 112-82-3, Cetyl bromide 53918-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of surfactants for photog. material)

IT 743423-33-8P

RL: RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of surfactants for photog. material)

IT 743423-34-9P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(surfactants for photog. material)

IT 743423-30-5 743423-31-6

RL: TEM (Technical or engineered material use); USES (Uses) (surfactants for photog. material)

IT 743423-33-8P

RL: RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of surfactants for photog. material)

RN 743423-33-8 HCAPLUS

CN 1H-Benzimidazole-5-sulfonic acid, 2-(hexadecylthio)-, monosodium salt (9CI) (CA INDEX NAME)

N S-
$$(CH_2)_{15}$$
-Me NH

Na

IT 743423-34-9P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material
use); PREP (Preparation); USES (Uses)
 (surfactants for photog. material)

RN 743423-34-9 HCAPLUS

CN 1H-Benzimidazole-1-butanesulfonic acid, 2-(hexadecylthio)-6-sulfo-, monosodium salt (9CI) (CA INDEX NAME)

N S-
$$(CH_2)_{15}$$
- Me

(CH₂)₄- SO₃H

Na

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN AN 2004:120569 HCAPLUS

DN 140:181315

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Preparation of furanones as cytoprotectants for dermatologic conditions
TT
        Boddupalli, Sekhar; Walkinshaw, Gail; Wang, Bing
IN
PΑ
        U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 354,474.
SO
         CODEN: USXXCO
DT
         Patent
        English
LA
FAN.CNT 2
        PATENT NO.
                                           KIND
                                                       DATE
                                                                            APPLICATION NO.
                                                                                                                    DATE
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PΙ
                                                                            US 2003-630170
        US 2004029812
                                             Α1
                                                       20040212
                                                                                                                    20030730
                                                                            US 2003-354474
        US 2003176361
                                             A1
                                                       20030918
                                                                                                                    20030128
        US 6667330
                                             B2
                                                       20031223
                                                                            WO 2004-US24491
        WO 2005016340
                                             A1
                                                       20050224
                                                                                                                    20040728
               W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
                      AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
                      SN, TD, TG
PRAI US 2002-353939P
                                             Ρ
                                                       20020131
        US 2003-354474
                                             A2
                                                       20030128
        US 2003-630170
                                                       20030730
                                             Α
os
        MARPAT 140:181315
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$$\begin{array}{c}
0 \\
R^1 \\
 & Y-R^3
\end{array}$$

GI

AB Title compds. I [R1 = CO2R', CONR'R'', CH2OR''', CN, (un) substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroaralkyl; R2, R3 = independently (un) substituted alkyl, cycloalkyl, aryl, aralkyl,

II

heterocyclyl, heteroaryl, heteroaralkyl, nucleoside, amino acid, di-, trior tetra-peptide; R4 = H, alkyl, alkylcarbonyl, (poly)alkoxyalkylene, dialkoxyphosphoryloxy; X = alkylene, NR', S, SO, SO2; or XR2 = PO(OR')2; Y = NR', S, SO, SO2; or YR3 = PO(OR')2; or XR2YR3 = (un)substituted aliphatic or aromatic ring; R' = H, alkenyl, (un) substituted alkyl, cycloalkyl, phosphoryl, aryl; R'' = H, alkenyl, (un)substituted alkyl, aryl; or R'R'' = atoms that form (un)substituted 5-7 membered aryl, heteroaryl ring; R''' = H, alkenyl, (un) substituted alkyl, acyl, cycloalkyl, phosphoryl, aryl; and their single tautomers, single stereoisomers, mixts. of tautomers and/or stereoisomers, and pharmaceutically acceptable salts] were prepared as cytoprotectants for treating dermatol. conditions. For example, II was prepared by reaction of 2-mercaptobenzimidazole with Et bromopyruvate in ethanol/acetone and aldol condensation of the two tautomeric forms of the pyruvate intermediate. Selected invention compds. showed significant reduction in edema in assays assessing mouse ear inflammatory response to topical arachidonic acid (10% to 70%, p < 0.05). Results from various assays were disclosed for selected invention compds. Thus, I and their pharmaceutical formulations are useful for regulating skin condition, regulating the signs of skin aging or for treating contact dermatitis, skin irritation, acne, rosacea, psoriasis, age-related damage or damage resulting from harmful (UV) radiation or environmental pollution, stress or fatique. ICM A61K038-06

IC

ICS A61K038-05; A61K038-04; A61K031-541; A61K031-496; A61K031-5377; A61K031-452; A61K031-427; A61K031-421; A61K031-4178; A61K031-4025; A61K031-365

NCL 514018000; 514217030; 514227800; 514231500; 514254100; 514326000; 514365000; 514374000; 514397000; 514422000

CC 27-6 (Heterocyclic Compounds (One Hetero Atom)) Section cross-reference(s): 1, 34, 63

ST furanone prepn formulation cytoprotectant dermatol skin condition dermatitis

ΙT Skin, disease

(aging; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions)

ΙT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antiandrogens, co-administration; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions in combination with addnl. benefit agents)

IT Ischemia

> (cardiac, myocardial; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions)

IT Cytoprotective agents

> (cardioprotective; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions)

IT Ischemia

> (cerebral; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions)

Anti-inflammatory agents

Antibiotics

Antioxidants

Sunscreens

(co-administration; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions in combination with addnl. benefit agents)

IT Corticosteroids, biological studies

Retinoids

Vitamins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

ΙT

IT

ΙT

IT

ΙT

ΙT

IT

ΙT

IT

IT

IT

Page 9 (co-administration; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions in combination with addnl. benefit agents) Dermatitis (contact; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Heart, disease (failure; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Carboxylic acids, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hydroxy, co-administration; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions in combination with addnl. benefit agents) Heart, disease (infarction; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Skin, disease (irritation; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Heart, disease (ischemia, myocardial; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Brain, disease (ischemia; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Cytoprotective agents (neuroprotective; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Acne Anti-ischemic agents Cytoprotective agents Dermatitis Drug delivery systems Edema Inflammation Psoriasis Skin, disease Skin preparations (pharmaceutical) (preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Interleukin 1B RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) Skin, disease (rosacea; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) 59-02-9, α -Tocopherol 59-02-9D, α -Tocopherol, esters 69-72-7, Salicylic acid, biological studies 94-36-0, Benzoyl peroxide,

IT

biological studies 119-13-1, δ -Tocopherol 119-13-1D, δ -Tocopherol, esters 148-03-8, β-Tocopherol 148-03-8D, β -Tocopherol, esters 7616-22-0, γ -Tocopherol 7616-22-0D, γ -Tocopherol, esters RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (co-administration; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions in combination with addnl. benefit agents)

IT 577952-58-0P 577952-60-4P 577952-61-5P RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical

```
process); PYP (Physical process); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC
     (Process); USES (Uses)
        (cytoprotective agent; preparation of furanone cytoprotectants via aldol
       condensation for treatment of dermatol. conditions)
                                                  577952-70-6P
                   577952-51-3P
                                  577952-69-3P
                                                                 577952-71-7P
ΙT
     577952-47-7P
     577952-97-7P
    RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (cytoprotective agent; preparation of furanone cytoprotectants via aldol
       condensation for treatment of dermatol. conditions)
                   577952-80-8P, 4-Hydroxy-5-oxo-3-(2-furanylmethylsulfanyl)-2-
IT
     577952-57-9P
     [(2-furanylmethylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl
            577952-84-2P, 4-(1H-Benzimidazol-2-ylsulfanyl)-5-[(1H-benzimidazol-
     2-ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one
    RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (cytoprotective agent; preparation of furanone cytoprotectants via aldol
       condensation for treatment of dermatol. conditions)
IT
     577952-48-8P, 3-(3-Amino-[1,2,4]thiadiazol-5-ylsulfanyl)-2-(((3-amino-
     [1,2,4]thiadiazol-5-yl)sulfanyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
     carboxylic acid ethyl ester 577952-49-9P, 3-(3-Amino-[1,2,4]thiadiazol-5-
    ylsulfanyl)-2-(((3-amino-[1,2,4]thiadiazol-5-yl)sulfanyl)methyl)-4-hydroxy-
     5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester, trimethylamine salt
     577952-50-2P, 3-((5-Amino-2H-[1,2,4]triazol-3-yl)sulfanyl)-2-(((5-amino-2H-
     [1,2,4]triazol-3-yl)sulfanyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
     carboxylic acid ethyl ester 577952-52-4P, 4-Hydroxy-5-oxo-3-(5-phenyl-
     [1,3,4]oxadiazol-2-ylsulfanyl)-2-(5-phenyl-[1,3,4]oxadiazol-2-
    ylsulfanylmethyl)-2,5-dihydrofuran-2-carboxylic acid ethyl ester
     577952-53-5P, 3-(5-Chlorobenzothiazol-2-ylsulfanyl)-2-[(5-chloro-
    benzothiazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
    carboxylic acid ethyl ester
                                 577952-54-6P, 4-Hydroxy-3-(5-methoxy-1H-
    benzimidazol-2-ylsulfanyl)-2-[(5-methoxy-1H-benzimidazol-2-
    ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester
     577952-55-7P, 4-Hydroxy-5-oxo-3-(p-tolylsulfanyl)-2-(p-
    tolylsulfanylmethyl)-2,5-dihydrofuran-2-carboxylic acid ethyl ester
                                   577952-63-7P
     577952-56-8P
                   577952-62-6P
                                                  577952-64-8P
                                  577952-72-8P
     577952-66-0P
                   577952-67-1P
                                                  577952-73-9P,
     4-Hydroxy-5-oxo-3-(pyridin-4-ylsulfanyl)-2-[(pyridin-4-ylsulfanyl)methyl]-
    2,5-dihydrofuran-2-carboxylic acid ethyl ester
                                                     577952-74-0P,
    5,8-Dichloro-3-hydroxy-2-oxo-2H-1-oxa-4,9-dithiabenzo[f]azulene-10a-
    carboxylic acid ethyl ester
                                  577952-75-1P, 3-(1H-Benzimidazol-2-
    ylsulfanyl) -2-[(1H-benzimidazol-2-ylsulfanyl) methyl] -4-hydroxy-5-oxo-2,5-
    dihydrofuran-2-carboxylic acid
                                    577952-76-2P, 3-(Benzothiazol-2-
    ylsulfanyl)-2-[(benzothiazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-
    dihydrofuran-2-carboxylic acid (2-hydroxyethyl)amide
                                                           577952-78-4P,
    3-(Benzothiazol-2-ylsulfanyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
                      577952-79-5P, 4-(Furan-2-ylmethylsulfanyl)-5-[(furan-2-
    carboxylic acid
    ylmethylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one
    577952-81-9P, 4-(2,2-Dimethylpropionyloxy)-3-(furan-2-ylmethylsulfanyl)-2-
     [(furan-2-ylmethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic
                                      577952-83-1P
    acid ethyl ester
                       577952-82-0P
                                                      577952-85-3P,
    4-(1H-Benzimidazol-2-ylsulfanyl)-5-[(1H-benzimidazol-2-ylsulfanyl)methyl]-
    3-hydroxy-5-(thiazol-2-yl)-5H-furan-2-one
                                               577952-86-4P,
    3-(Benzothiazol-2-ylsulfanyl)-2-[(benzothiazol-2-ylsulfanyl)methyl]-4-
    hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid
                                                        577952-87-5P,
    3-(2-Chloro-4-fluorophenylsulfanyl)-2-[(2-chloro-4-
    fluorophenylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic
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acid ethyl ester 577952-88-6P 577952-89-7P, 4-(Benzoxazol-2ylsulfanyl)-5-[(benzoxazol-2-ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one 577952-90-0P, 4-(5-Chlorobenzothiazol-2-ylsulfanyl)-5-[(5chlorobenzothiazol-2-ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-577952-91-1P, 4-(Benzothiazol-2-ylsulfanyl)-5-[(benzothiazol-2ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one 577952-92-2P, 3-(2-Chloro-6-fluorobenzylsulfanyl)-2-[(2-chloro-6fluorobenzylsulfanyl) methyl] -4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic 577952-93-3P, 3-(5,6-Dichloro-1H-benzimidazol-2acid ethyl ester ylsulfanyl)-2-[(5,6-dichloro-1H-benzimidazol-2-ylsulfanyl)methyl]-4hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577952-94-4P, 4-Hydroxy-3-(5-methoxybenzothiazol-2-ylsulfanyl)-2-[(5methoxybenzothiazol-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-577952-95-5P, 3-(2,4-Dichlorobenzylsulfanyl)carboxylic acid ethyl ester 2-[(2,4-dichlorobenzylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577952-96-6P, 2-[(Benzothiazol-2ylsulfinyl)methyl]-3-(benzothiazol-2-ylsulfanyl)-4-hydroxy-5-oxo-2,5dihydrofuran-2-carboxylic acid ethyl ester 577952-98-8P, 4-Hydroxy-3-(6-nitrobenzothiazol-2-ylsulfanyl)-2-[(6-nitrobenzothiazol-2ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577952-99-9P, 2-[(1H-Benzimidazol-2-ylsulfanyl)methyl]-4-ethoxy-3-(1-ethyl-1H-benzimidazol-2-ylsulfanyl)-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-00-5P, 3-[Furan-2-ylmethanesulfinyl]-2-((furan-2ylmethanesulfinyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic 577953-01-6P, 2-[(Furan-2-ylmethanesulfinyl)methyl]-3acid ethyl ester (furan-2-ylmethanesulfonyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-02-7P, 4-Hydroxy-3-methylsulfanyl-2methylsulfanylmethyl-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-03-8P, 3-(5-Amino-[1,3,4]thiadiazol-2-ylsulfanyl)-2-(((5-amino-[1,3,4]thiadiazol-2-yl)sulfanyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid 577953-04-9P, 3-(Benzoxazol-2-ylsulfanyl)-2-[(benzothiazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid methyl ester 577953-05-0P 577953-06-1P 577953-07-2P, 3-(Furan-2-ylmethylsulfanyl)-2-[(furan-2-ylmethylsulfanyl)methyl]-4isobutanoyloxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-08-3P, 4-(2,2-Dimethylpropanoyloxy)-3-ethoxycarbonylmethylsulfanyl-2-[(ethoxycarbonylmethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-09-4P, 4-Hydroxy-5-oxo-3-(4phenylthiazol-2-ylsulfanyl)-2-[(4-phenylthiazol-2-ylsulfanyl)methyl]-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-10-7P, 3-(2-Dimethylaminoethylsulfanyl)-2-[(2-dimethylaminoethylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid 577953-11-8P, 4-Hydroxy-3-[(1-methyl-1H-imidazol-2-yl)sulfanyl]-2-[(1-methyl-1H-imidazol-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-12-9P, 3-Cyclopentylsulfanyl-2-cyclopentylsulfanylmethyl-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-13-0P, 3-Butylsulfanyl-2-butylsulfanylmethyl-4-hydroxy-5-oxo-2,5-dihydrofuran-2-577953-14-1P, 4-Hydroxy-3-isobutylsulfanyl-2carboxylic acid ethyl ester isobutylsulfanylmethyl-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl 577953-15-2P, 4-Hydroxy-3-(naphthalen-2-ylsulfanyl)-2-[(naphthalen-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-16-3P, 4-Hydroxy-5-oxo-3-[(1-phenyl-1H-tetrazol-5-yl)sulfanyl]-2-[[(1-phenyl-1H-tetrazol-5-yl)sulfanyl]methyl]-2,5-dihydrofuran-2-577953-17-4P, 4-Hydroxy-5-oxo-3-((5-phenylcarboxylic acid ethyl ester 2H-[1,2,4]triazol-3-yl)sulfanyl)-2-(((5-phenyl-2H-[1,2,4]triazol-3yl)sulfanyl)methyl)-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-18-5P, 4-Hydroxy-5-oxo-3-(thiazol-2-ylsulfanyl)-2-[(thiazol-2ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-19-6P, 3-Benzylsulfanyl-2-benzylsulfanylmethyl-4-hydroxy-5-oxo-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-20-9P,

4-Hydroxy-3-(4-methoxyphenylsulfanyl)-2-[(4-methoxyphenylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-21-0P, 3-(2-Chlorophenylsulfanyl)-2-[(2-chlorophenylsulfanyl)methyl]-4-hydroxy-5oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-22-1P, 3-(Benzothiazol-2-ylsulfanyl)-2-[(benzothiazol-2-ylsulfanyl)methyl]-4hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-23-2P, 3-(Benzoxazol-2-ylsulfanyl)-2-[(benzoxazol-2ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid 577953-24-3P, 4-Hydroxy-5-oxo-3-(4-trifluoromethylpyrimidin-2-ylsulfanyl)-2-[(4-trifluoromethylpyrimidin-2-ylsulfanyl)methyl]-2,5-577953-25-4P, dihydrofuran-2-carboxylic acid ethyl ester 4-Hydroxy-3-(4-methylpyrimidin-2-ylsulfanyl)-2-[(4-methylpyrimidin-2ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-26-5P, 4-Hydroxy-5-oxo-3-(pyrimidin-2-ylsulfanyl)-2-[(pyrimidin-2ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-27-6P, 4-Hydroxy-5-oxo-3-(2-sulfo-ethylsulfanyl)-2-[(2-sulfoethylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-28-7P, 4-Hydroxy-5-oxo-3-(7-trifluoromethylquinolin-4-ylsulfanyl)-2-[(7-trifluoromethylquinolin-4-ylsulfanyl)methyl]-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-29-8P 577953-30-1P 577953-31-2P, 3-Cyclohexylsulfanyl-2-cyclohexylsulfanylmethyl-4-hydroxy-5oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-32-3P, 4-(Benzothiazol-2-ylsulfanyl)-5-benzoyl-3-hydroxy-5H-furan-2-one 577953-33-4P, 3-(1H-Benzimidazol-2-ylsulfanyl)-4-hydroxy-5-oxo-5H-furan-2,2-dicarboxylic acid diethyl ester 577953-34-5P, 5-Acetyl-4-(benzothiazol-2-ylsulfanyl)-3-hydroxy-5H-furan-2-one 577953-35-6P, 3-Benzylsulfanyl-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl 577953-36-7P, 4-Hydroxy-3-(5-methyl-1H-benzimidazol-2-ylsulfanyl)-5-oxo-2,5-dihydrofuran-2-carboxylic acid 2-isopropyl-5-methylcyclohexyl 577953-37-8P 577953-38-9P, 3-(Benzoselenazol-2-ylsulfanyl)-2-[(benzoselenazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-39-0P, 4-Hydroxy-5-oxo-3-(4phenylthiazol-2-ylsulfanyl)-2,5-dihydrofuran-2-carboxylic acid 577953-40-3P 577953-41-4P, 4-Hydroxy-5-oxo-3-/(9H-purin-6-ylsulfanyl)-2-[(9H-purin-6-ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl 577953-42-5P 577953-43-6P, 4-Hydroxy-3-(1H-imidazol-2ylsulfanyl)-2-[(1H-imidazol-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-44-7P, 3-(2-Diethylaminoethylsulfanyl) -2-[(2-diethylaminoethylsulfanyl)methyl]-4hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-45-8P, 3-(1H-Benzimidazol-2-ylsulfanyl)-2-[(1H-benzimidazol-2ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid methyl ester 577953-46-9P, 3-(2-Dimethylaminoethylsulfanyl)-2-[(2dimethylaminoethylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester hydrochloride 577953-47-0P, 4-Hydroxy-3-(2-methoxycarbonylethylsulfanyl)-2-[(2methoxycarbonylethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-48-1P, 4-Hydroxy-3-(methoxycarbonylmethylsulfany 1) -2-[(methoxycarbonylmethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-49-2P, 3-(5-Amino-[1,3,4]thiadiazol-2ylsulfanyl)-2-[((5-amino-[1,3,4]thiadiazol-2-yl)sulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-50-5P, 3-(1H-Benzimidazol-2-ylsulfanyl)-2-[(1H-benzimidazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-51-6P, 3-(4-Fluorobenzylsulfanyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2,2-dicarboxylic acid diethyl ester 577953-52-7P, 4-Hydroxy-5-oxo-3-(1oxopyridin-2-ylsulfanyl)-2-[(1-oxopyridin-2-ylsulfanyl)methyl]-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-53-8P, 4-Hydroxy-3-(4-methoxybenzylsulfanyl)-2-[(4-methoxybenzylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-54-9P,

4-Hydroxy-3-(5-nitro-1H-benzimidazol-2-ylsulfanyl)-2-((5-nitro-1Hbenzimidazol-2-ylsulfanyl)methyl)-5-oxo-2,5-dihydrofuran-2-carboxylic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (cytoprotective agent; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) IT 475293-89-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) 657411-22-8P 657411-23-9P TT 577952-68-2P 657411-24-0P 657411-26-2P 657411-27-3P 657411-25-1P 657411-28-4P 657411-29-5P 657411-30-8P 657411-31-9P 657411-32-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) IT 70-18-8, L-Glutathione, reactions 70-23-5, Ethyl bromopyruvate 106-45-6, 4-Methyl benzenethiol 583-39-1, 2-Mercaptobenzimidazole 2349-67-9, 5-Amino-1,3,4-thiadiazole-2-thiol 3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol 3282-30-2, Trimethylacetyl chloride 4556-23-4, 4-Mercaptopyridine 5331-91-9, 5-Chloro-2mercaptobenzothiazole 6325-91-3, 2-Mercapto-5-nitrobenzimidazole 7652-46-2 16691-43-3, 3-Amino-5-mercapto-1,2,4-triazole 37052-37052-78-1, 5-Methoxy-2-benzimidazolethiol 60853-81-8 62571-86-2, Captopril 349445-19-8, 87314-49-6, 3,6-Dichloro-1,2-benzenedithiol 3-(Benzothiazol-2-ylsulfanyl)-2-(oxo)propionic acid ethyl ester 577952-77-3, 2,3-Bis(benzothiazol-2-ylsulfanylmethyl)-4-hydroxy-5-oxo-2,5dihydrofuran-2-carboxylic acid RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) IT 577953-29-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); (cytoprotective agent; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions) RN 577953-29-8 HCAPLUS CN 2-Furancarboxylic acid, 2,5-dihydro-4-hydroxy-5-oxo-3-[(5-sulfo-1Hbenzimidazol-2-yl)thio]-2-[[(5-sulfo-1H-benzimidazol-2-yl)thio]methyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

IT 657411-25-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions)

RN 657411-25-1 HCAPLUS

CN 1H-Benzimidazole-5-sulfonic acid, 2-[[[2,5-dihydro-4-hydroxy-2-(hydroxymethyl)-5-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-2-furanyl]methyl]thio]- (9CI) (CA INDEX NAME)

L15 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:26437 HCAPLUS

DN 141:282618

TI Amphiphilic cyclodextrin complexation of clofazimine

AU Schwinte, Pascale; Ramphul, Meera; Darcy, Raphael; O'Sullivan, John F.

CS University College Dublin, Centre for Synthesis and Chemical Biology, Conway Institute, Dept. Chemistry, National Univ. Ireland, Dublin, 4, Ire.

SO Journal of Inclusion Phenomena and Macrocyclic Chemistry (2003), 47(3-4), 109-112

CODEN: JIPCF5; ISSN: 1388-3127

PB Kluwer Academic Publishers

DT Journal

LA English

The cyclodextrin amphiphiles heptakis $[6-(1'-sulfonato-3'-propyl)-6-thio-2,3-di-0-acetyl]-\beta-cyclodextrin, heptakis <math>[6-(6'-sulfonato-2'-benzimidazolyl)-6-thio-2,3-di-0-acetyl]-\beta-cyclodextrin, and heptakis <math>[6-(\beta-D-glucosyl)-6-thio-2,3-di-0-acetyl]-\beta-cyclodextrin have been shown to form aggregates in water by fluorescence measurements on the binding of 2-anilinonaphthalene, and by laser light-scattering measurements. Ests. of aggregation number have been obtained. These aggregates successfully incorporate clofazimine, a lipophilic heterocyclic drug, and increase its water solubility by a factor of 30 to 50.$

CC 63-6 (Pharmaceuticals)

ST amphiphilic cyclodextrin aggregate complexation clofazimine soly drug delivery

IT Aggregates

Amphiphiles

Solubility

(amphiphilic cyclodextrin complexation of clofazimine for solubility increase)

IT Drug delivery systems

(inclusion complexes; amphiphilic cyclodextrin complexation of clofazimine for solubility increase)

IT 2030-63-9DP, Clofazimine, inclusion complexes with cyclodextrin

SACKEY 10/725167 3/31/05 Page 15

IT 2030-63-9, Clofazimine 7585-39-9, β-Cyclodextrin 760958-28-9
760958-29-0 760958-30-3

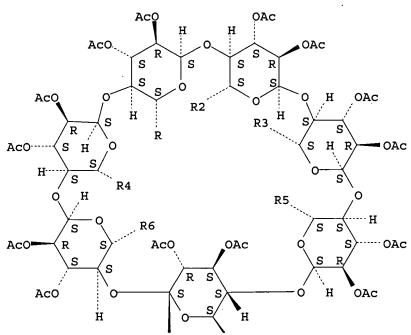
RL: RCT (Reactant); RACT (Reactant or reagent)
 (amphiphilic cyclodextrin complexation of clofazimine for solubility
 increase)

RN 760958-29-0 HCAPLUS

CN β-Cyclodextrin, 6A,6B,6C,6D,6E,6F,6G-heptakis-S-(5-sulfo-1Hbenzimidazol-2-yl)-6A,6B,6C,6D,6E,6F,6G-heptathio-, tetradecaacetate, heptasodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 3-A

PAGE 4-A

PAGE 5-A

●7 Na

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:610432 HCAPLUS

DN 139:179965

TI Preparation of furanones as cytoprotectants for neuroinflammation and neurodegenerative disorders

IN Wang, Bing; Zhang, Wei; Song, Jiangao; Del Balzo, Ughetta; Brown, Lesley;
Walkinshaw, Gail

PA Galileo Laboratories, Inc., USA

SO PCT Int. Appl., 89 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PAN.	CTA T	4																
	PA	TENT	NO.			KIN	D	DATE		2	APPL	ICAT	ION I	NO.		D	ATE	
							-											
ΡI	WO	2003	0644	03		A1		2003	0807	1	WO 2	003-1	US27	66		20	0030:	130
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,

UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20041124 EP 2003-705988 20030130 EP 1478634 **A1** R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRAI US 2002-353939P Ρ 20020131 WO 2003-US2766 W 20030130 os MARPAT 139:179965 GI

$$0$$
 R^{1}
 $Y-R^{3}$
 $R^{2}-X$
 I

AB Title compds. I [wherein R1 = CO2R', CONR'R'', CH2OR''', CN, (un) substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroaralkyl; R2, R3 = independently (un) substituted alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, nucleoside, amino acid, di-, tri- or tetra-peptide; R4 = H, alkyl, alkylcarbonyl, (poly)alkoxyalkylene, dialkoxyphosphoryloxy; X = alkylene, NR', S, SO, SO2; or XR2 = PO(OR')2; Y = NR', S, SO, SO2; or YR3 = PO(OR')2; or XR2YR3= (un) substituted aliphatic or aromatic ring; R' = H, alkenyl, (un) substituted alkyl, cycloalkyl, phosphoryl, aryl; R'' = H, alkenyl, (un) substituted alkyl, aryl; or R'R'' = atoms that form (un)substituted 5-7 membered aryl, heteroaryl ring; R''' = H, alkenyl, (un) substituted alkyl, acyl, cycloalkyl, phosphoryl, aryl; with the proviso that the compound is not 4-hydroxy-3-methanylsulfonyl-2-methanylsulfonylmethyl-5-oxo-2,5dihydrofuran-2-carboxylic acid Et ester; and further with the proviso that when X = alkylene, $R2 \neq (un)$ substituted alkyl; and their single tautomers, single stereoisomers, mixts. of tautomers and/or stereoisomers, and pharmaceutically acceptable salts] were prepared as cytoprotectants for neuroinflammation and neurodegenerative disorders. For example, II was prepared by reaction of 2-mercaptobenzimidazole with Et bromopyruvate in ethanol/acetone and aldol condensation of the two tautomeric forms of the pyruvate intermediate. Selected invention compds. showed significant

reduction in edema in assays assessing mouse ear inflammatory response to topical arachidonic acid (10% to 70%, p < 0.05). Results from neuronal cell stress assay, myocyte calcium-contractility assay, and rat middle cerebral artery occlusion model were disclosed for selected invention compds. Thus, I and their pharmaceutical formulations are useful in the treatment of stroke, cerebral ischemia, myocardial infarction, myocardial ischemia, chronic heart failure, inflammation and other oxidative stress-related conditions, and Alzheimer's disease and senile dementia (no data).

IC ICM C07D305-12

ICS C07D235-04; A61K031-34; A61K031-415

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 34, 63

- ST furanone prepn cytoprotectant neuroinflammation neurodegenerative stroke myocardia formulation cardioprotectant
- IT Ischemia

(cardiac, myocardial; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Cytoprotective agents

(cardioprotective; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Edema

Ischemia

(cerebral; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Mental disorder

(cognitive, post-surgical; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Nervous system, disease

(degeneration; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Cognition

(disorder, post-surgical; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Brain, disease

(edema; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Heart, disease

(failure, chronic; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Heart, disease

(failure; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Injury

(head; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Brain, disease

Heart, disease

(infarction; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Head, disease

Spinal cord, disease

(injury; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

SACKEY 10/725167 3/31/05 Page 20 TT Heart, disease (ischemia, myocardial; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders) IT Brain, disease (ischemia; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders) Inflammation TT (neurogenic; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders) Cytoprotective agents IT (neuroprotective; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders) IT Nerve, disease (peripheral neuropathy; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders) TТ Alzheimer's disease Anti-Alzheimer's agents Anti-inflammatory agents Anti-ischemic agents Autoimmune disease Cytoprotective agents Drug delivery systems Edema Immunomodulators

Oxidative stress, biological

(preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Interleukin 1β

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Eye, disease

(retinal ischemia; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Ischemia

(retinal; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Mental disorder

(senile psychosis; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Injury

(spinal cord; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Brain, disease

(stroke; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT Injury

(trauma, surgical; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT 577952-58-0P 577952-60-4P 577952-61-5P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

```
(cytoprotective agent; preparation of furanone cytoprotectants via aldol
       condensation for treatment of neuroinflammation and neurodegenerative
       disorders)
                   577952-51-3P
                                   577952-69-3P
                                                  577952-70-6P
                                                                 577952-71-7P
IT
     577952-47-7P
     577952-97-7P
     RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (cytoprotective agent; preparation of furanone cytoprotectants via aldol
        condensation for treatment of neuroinflammation and neurodegenerative
       disorders)
                   577952-80-8P, 4-Hydroxy-5-oxo-3-(2-furanylmethylsulfanyl)-2-
     577952-57-9P
IT
     [(2-furanylmethylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl
            577952-84-2P, 4-(1H-Benzimidazol-2-ylsulfanyl)-5-[(1H-benzimidazol-
     2-ylsulfanyl) methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one
    RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (cytoprotective agent; preparation of furanone cytoprotectants via aldol
       condensation for treatment of neuroinflammation and neurodegenerative
       disorders)
     577952-48-8P, 3-(3-Amino-[1,2,4]thiadiazol-5-ylsulfanyl)-2-(((3-amino-
IT
     [1,2,4]thiadiazol-5-yl)sulfanyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
     carboxylic acid ethyl ester 577952-49-9P, 3-(3-Amino-[1,2,4]thiadiazol-5-
    ylsulfanyl) -2-(((3-amino-[1,2,4]thiadiazol-5-yl)sulfanyl)methyl) -4-hydroxy-
     5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester, trimethylamine salt
    577952-50-2P, 3-((5-Amino-2H-[1,2,4]triazol-3-yl)sulfanyl)-2-(((5-amino-2H-
     [1,2,4]triazol-3-yl)sulfanyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
    carboxylic acid ethyl ester
                                 577952-52-4P, 4-Hydroxy-5-oxo-3-(5-phenyl-
     [1,3,4]oxadiazol-2-ylsulfanyl)-2-(5-phenyl-[1,3,4]oxadiazol-2-
    ylsulfanylmethyl)-2,5-dihydrofuran-2-carboxylic acid ethyl ester
     577952-53-5P, 3-(5-Chlorobenzothiazol-2-ylsulfanyl)-2-[(5-chloro-
    benzothiazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
    carboxylic acid ethyl ester
                                 577952-54-6P, 4-Hydroxy-3-(5-methoxy-1H-
    benzimidazol-2-ylsulfanyl)-2-[(5-methoxy-1H-benzimidazol-2-
    ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester
     577952-55-7P, 4-Hydroxy-5-oxo-3-(p-tolylsulfanyl)-2-(p-
    tolylsulfanylmethyl)-2,5-dihydrofuran-2-carboxylic acid ethyl ester
                   577952-62-6P
     577952-56-8P
                                  577952-63-7P
                                                 577952-64-8P
                                                                 577952-65-9P
     577952-66-0P
                   577952-67-1P
                                  577952-72-8P
                                                  577952-73-9P,
     4-Hydroxy-5-oxo-3-(pyridin-4-ylsulfanyl)-2-[(pyridin-4-ylsulfanyl)methyl]-
    2,5-dihydrofuran-2-carboxylic acid ethyl ester
                                                     577952-74-0P,
    5,8-Dichloro-3-hydroxy-2-oxo-2H-1-oxa-4,9-dithiabenzo[f]azulene-10a-
    carboxylic acid ethyl ester
                                  577952-75-1P, 3-(1H-Benzimidazol-2-
    ylsulfanyl) -2-[(1H-benzimidazol-2-ylsulfanyl) methyl] -4-hydroxy-5-oxo-2,5-
    dihydrofuran-2-carboxylic acid
                                    577952-76-2P, 3-(Benzothiazol-2-
    ylsulfanyl) -2-[(benzothiazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-
    dihydrofuran-2-carboxylic acid (2-hydroxyethyl)amide
                                                           577952-78-4P,
    3-(Benzothiazol-2-ylsulfanyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-
    carboxylic acid
                      577952-79-5P, 4-(Furan-2-ylmethylsulfanyl)-5-[(furan-2-
    ylmethylsulfanyl) methyl] -3-hydroxy-5-hydroxymethyl-5H-furan-2-one
    577952-81-9P, 4-(2,2-Dimethylpropionyloxy)-3-(furan-2-ylmethylsulfanyl)-2-
     [(furan-2-ylmethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic
    acid ethyl ester
                       577952-82-0P
                                      577952-83-1P
                                                      577952-85-3P,
    4-(1H-Benzimidazol-2-ylsulfanyl)-5-[(1H-benzimidazol-2-ylsulfanyl)methyl]-
    3-hydroxy-5-(thiazol-2-yl)-5H-furan-2-one
                                                577952-86-4P,
    3-(Benzothiazol-2-ylsulfanyl)-2-[(benzothiazol-2-ylsulfanyl)methyl]-4-
    hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid
                                                      577952-87-5P,
    3-(2-Chloro-4-fluorophenylsulfanyl)-2-[(2-chloro-4-
    fluorophenylsulfanyl) methyl] -4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic
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acid ethyl ester 577952-88-6P 577952-89-7P, 4-(Benzoxazol-2ylsulfanyl) -5-[(benzoxazol-2-ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one 577952-90-0P, 4-(5-Chlorobenzothiazol-2-ylsulfanyl)-5-[(5chlorobenzothiazol-2-ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-577952-91-1P, 4-(Benzothiazol-2-ylsulfanyl)-5-[(benzothiazol-2ylsulfanyl)methyl]-3-hydroxy-5-hydroxymethyl-5H-furan-2-one 577952-92-2P, 3-(2-Chloro-6-fluorobenzylsulfanyl)-2-[(2-chloro-6fluorobenzylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic 577952-93-3P, 3-(5,6-Dichloro-1H-benzimidazol-2acid ethyl ester ylsulfanyl) -2-[(5,6-dichloro-1H-benzimidazol-2-ylsulfanyl)methyl]-4hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577952-94-4P, 4-Hydroxy-3-(5-methoxybenzothiazol-2-ylsulfanyl)-2-[(5methoxybenzothiazol-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577952-95-5P, 3-(2,4-Dichlorobenzylsulfanyl)-2-[(2,4-dichlorobenzylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-577952-96-6P, 2-[(Benzothiazol-2carboxylic acid ethyl ester ylsulfinyl)methyl]-3-(benzothiazol-2-ylsulfanyl)-4-hydroxy-5-oxo-2,5dihydrofuran-2-carboxylic acid ethyl ester 577952-98-8P, 4-Hydroxy-3-(6-nitrobenzothiazol-2-ylsulfanyl)-2-[(6-nitrobenzothiazol-2ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577952-99-9P, 2-[(1H-Benzimidazol-2-ylsulfanyl)methyl]-4-ethoxy-3-(1-ethyl-1H-benzimidazol-2-ylsulfanyl)-5-oxo-2,5-dihydrofuran-2-carboxylic acid 577953-00-5P, 3-[Furan-2-ylmethanesulfinyl]-2-((furan-2ethyl ester ylmethanesulfinyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic 577953-01-6P, 2-[(Furan-2-ylmethanesulfinyl)methyl]-3acid ethyl ester (furan-2-ylmethanesulfonyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-02-7P, 4-Hydroxy-3-methylsulfanyl-2methylsulfanylmethyl-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-03-8P, 3-(5-Amino-[1,3,4]thiadiazol-2-ylsulfanyl)-2-(((5-amino-[1,3,4]thiadiazol-2-yl)sulfanyl)methyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2-577953-04-9P, 3-(Benzoxazol-2-ylsulfanyl)-2carboxylic acid [(benzothiazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid methyl ester 577953-05-0P 577953-06-1P 577953-07-2P, 3-(Furan-2-ylmethylsulfanyl)-2-[(furan-2-ylmethylsulfanyl)methyl]-4isobutanoyloxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-08-3P, 4-(2,2-Dimethylpropanoyloxy)-3-ethoxycarbonylmethylsulfanyl-2-[(ethoxycarbonylmethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-09-4P, 4-Hydroxy-5-oxo-3-(4phenylthiazol-2-ylsulfanyl)-2-[(4-phenylthiazol-2-ylsulfanyl)methyl]-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-10-7P, 3-(2-Dimethylaminoethylsulfanyl)-2-[(2-dimethylaminoethylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid 577953-11-8P, 4-Hydroxy-3-[(1-methyl-1H-imidazol-2-yl)sulfanyl]-2-[(1-methyl-1H-imidazol-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-12-9P, 3-Cyclopentylsulfanyl-2-cyclopentylsulfanylmethyl-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-13-0P, 3-Butylsulfanyl-2-butylsulfanylmethyl-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-14-1P, 4-Hydroxy-3-isobutylsulfanyl-2isobutylsulfanylmethyl-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl 577953-15-2P, 4-Hydroxy-3-(naphthalen-2-ylsulfanyl)-2-[(naphthalen-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-16-3P, 4-Hydroxy-5-oxo-3-[(1-phenyl-1H-tetrazol-5-yl)sulfanyl]-2-[[(1-phenyl-1H-tetrazol-5-yl)sulfanyl]methyl]-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-17-4P, 4-Hydroxy-5-oxo-3-((5-phenyl-2H-[1,2,4]triazol-3-yl)sulfanyl)-2-(((5-phenyl-2H-[1,2,4]triazol-3yl)sulfanyl)methyl)-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-18-5P, 4-Hydroxy-5-oxo-3-(thiazol-2-ylsulfanyl)-2-[(thiazol-2ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-19-6P, 3-Benzylsulfanyl-2-benzylsulfanylmethyl-4-hydroxy-5-oxo-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-20-9P,

4-Hydroxy-3-(4-methoxyphenylsulfanyl)-2-[(4-methoxyphenylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-21-0P, 3-(2-Chlorophenylsulfanyl)-2-[(2-chlorophenylsulfanyl)methyl]-4-hydroxy-5oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-22-1P, 3-(Benzothiazol-2-ylsulfanyl)-2-[(benzothiazol-2-ylsulfanyl)methyl]-4hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-23-2P, 3-(Benzoxazol-2-ylsulfanyl)-2-[(benzoxazol-2ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-24-3P, 4-Hydroxy-5-oxo-3-(4-trifluoromethylpyrimidin-2-ylsulfanyl)-2-[(4-trifluoromethylpyrimidin-2-ylsulfanyl)methyl]-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-25-4P, 4-Hydroxy-3-(4-methylpyrimidin-2-ylsulfanyl)-2-[(4-methylpyrimidin-2ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-26-5P, 4-Hydroxy-5-oxo-3-(pyrimidin-2-ylsulfanyl)-2-[(pyrimidin-2ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-27-6P, 4-Hydroxy-5-oxo-3-(2-sulfo-ethylsulfanyl)-2-[(2-sulfoethylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-28-7P, 4-Hydroxy-5-oxo-3-(7-trifluoromethylquinolin-4-ylsulfanyl)-2-[(7-trifluoromethylquinolin-4-ylsulfanyl)methyl]-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-29-8P 577953-30-1P 577953-31-2P, 3-Cyclohexylsulfanyl-2-cyclohexylsulfanylmethyl-4-hydroxy-5oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-32-3P, 4-(Benzothiazol-2-ylsulfanyl)-5-benzoyl-3-hydroxy-5H-furan-2-one 577953-33-4P, 3-(1H-Benzimidazol-2-ylsulfanyl)-4-hydroxy-5-oxo-5H-furan-2,2-dicarboxylic acid diethyl ester 577953-34-5P, 5-Acetyl-4-(benzothiazol-2-ylsulfanyl)-3-hydroxy-5H-furan-2-one 577953-35-6P, 3-Benzylsulfanyl-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl 577953-36-7P, 4-Hydroxy-3-(5-methyl-1H-benzimidazol-2-ylsulfanyl)-5-oxo-2,5-dihydrofuran-2-carboxylic acid 2-isopropyl-5-methylcyclohexyl 577953-38-9P, 3-(Benzoselenazol-2-ylsulfanyl)-2-577953-37-8P [(benzoselenazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-39-0P, 4-Hydroxy-5-oxo-3-(4phenylthiazol-2-ylsulfanyl)-2,5-dihydrofuran-2-carboxylic acid 577953-40-3P 577953-41-4P, 4-Hydroxy-5-oxo-3-(9H-purin-6-ylsulfanyl)-2-[(9H-purin-6-ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl 577953-43-6P, 4-Hydroxy-3-(1H-imidazol-2-577953-42-5P ylsulfanyl)-2-[(1H-imidazol-2-ylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-44-7P, 3-(2-Diethylaminoethylsulfanyl) -2-[(2-diethylaminoethylsulfanyl)methyl]-4hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-45-8P, 3-(1H-Benzimidazol-2-ylsulfanyl)-2-[(1H-benzimidazol-2ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid methyl ester 577953-46-9P, 3-(2-Dimethylaminoethylsulfanyl)-2-[(2dimethylaminoethylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester hydrochloride 577953-47-0P, 4-Hydroxy-3-(2-methoxycarbonylethylsulfanyl)-2-[(2methoxycarbonylethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-48-1P, 4-Hydroxy-3-(methoxycarbonylmethylsulfany 1) -2-[(methoxycarbonylmethylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2carboxylic acid ethyl ester 577953-49-2P, 3-(5-Amino-[1,3,4]thiadiazol-2ylsulfanyl)-2-[((5-amino-[1,3,4]thiadiazol-2-yl)sulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-50-5P, 3-(1H-Benzimidazol-2-ylsulfanyl)-2-[(1H-benzimidazol-2-ylsulfanyl)methyl]-4-hydroxy-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-51-6P, 3-(4-Fluorobenzylsulfanyl)-4-hydroxy-5-oxo-2,5-dihydrofuran-2,2-dicarboxylic acid diethyl ester 577953-52-7P, 4-Hydroxy-5-oxo-3-(1oxopyridin-2-ylsulfanyl)-2-[(1-oxopyridin-2-ylsulfanyl)methyl]-2,5dihydrofuran-2-carboxylic acid ethyl ester 577953-53-8P, 4-Hydroxy-3-(4-methoxybenzylsulfanyl)-2-[(4-methoxybenzylsulfanyl)methyl]-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester 577953-54-9P,

4-Hydroxy-3-(5-nitro-1H-benzimidazol-2-ylsulfanyl)-2-((5-nitro-1H-benzimidazol-2-ylsulfanyl)methyl)-5-oxo-2,5-dihydrofuran-2-carboxylic acid ethyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cytoprotective agent; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT 475293-89-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

70-18-8, L-Glutathione, reactions 70-23-5, Ethyl bromopyruvate IT 106-45-6, 4-Methyl benzenethiol 583-39-1, 2-Mercaptobenzimidazole 2349-67-9, 5-Amino-1,3,4-thiadiazole-2-thiol 3004-42-0, 3282-30-2, Trimethylacetyl chloride 5-Phenyl-1,3,4-oxadiazole-2-thiol 4556-23-4, 4-Mercaptopyridine 5331-91-9, 5-Chloro-2mercaptobenzothiazole 6325-91-3, 2-Mercapto-5-nitrobenzimidazole 7652-46-2 16691-43-3, 3-Amino-5-mercapto-1,2,4-triazole 37052-62571-86-2, Captopril 5-Methoxy-2-benzimidazolethiol 60853-81-8 87314-49-6, 3,6-Dichloro-1,2-benzenedithiol 349445-19-8, 3-(Benzothiazol-2-ylsulfanyl)-2-(oxo)propionic acid ethyl ester 577952-77-3, 2,3-Bis(benzothiazol-2-ylsulfanylmethyl)-4-hydroxy-5-oxo-2,5dihydrofuran-2-carboxylic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

IT 577953-29-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cytoprotective agent; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

RN 577953-29-8 HCAPLUS

CN 2-Furancarboxylic acid, 2,5-dihydro-4-hydroxy-5-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-2-[[(5-sulfo-1H-benzimidazol-2-yl)thio]methyl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

KATHLEEN FULLER EIC 1700 REMSON 4B28 571/272-2505

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2003:43045 HCAPLUS
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Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress

Wang, Bing; Miller, Guy; Zhang, Wei; Janagani, Satyanarayana; Song,

U.S. Pat. Appl. Publ., 54 pp. CODEN: USXXCO

DT Patent LA English FAN.CNT 5

APPLICATION NO. PATENT NO. KIND DATE DATE --------------PΙ US 2003013847 A1 20030116 US 2002-138937 20020503 US 2002-138032 US 2003100750 **A1** 20030529 20020503 US 6608196 B2 20030819 Р PRAI US 2001-288649P 20010503 US 2001-295314P Р 20010601 US 2002-368456P Ρ 20020323

os MARPAT 138:89499

Pyruvate derivs. A-X-CH2COCO-Z and A-X-CH:C(OH)CO-Z [A = substituted alkyl AB or heteroaryl, heterocyclyl, (un)substituted nucleoside, di-, tri- or tetrapeptide, CH2COCO2R', or CH:C(OH)CO2R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = NR', S, SO, SO2, S-Y-S [Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; Z = OR or SR, where R = H, (un) substituted (cyclo) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl] or their pharmaceutically-acceptable salts were prepared for treating a number of conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, S-[3-(pentyloxy)-2,3-dioxopropyl]glutathione was prepared by alkylation of glutathione. Compds. of the invention were evaluated as agents for protection against ischemic damage.

IC ICM C07K005-06

- C07C323-00; C07H019-16; C07H019-06; C07D293-10; C07D235-14
- NCL 530330000; 530331000; 536027300; 536028400; 544162000; 544287000; 548121000; 548204000; 548304400; 548316400

CC 23-17 (Aliphatic Compounds) Section cross-reference(s): 1, 34

ST peptide pyruvate prepn pharmaceutical oxidative stress

ΙT Heart, disease

(infarction; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

Peptides, preparation ΙT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT 475294-13-4P

> RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

```
(preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
                                                349444-96-8P
                                                                349445-15-4P
                                 114669-82-8P
IT
     27784-53-8P
                   73472-98-7P
                                                                  475293-83-5P
                                                  475293-82-4P
     475293-79-9P
                    475293-80-2P
                                   475293-81-3P
                                                  475293-87-9P
     475293-84-6P
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                                   475293-95-9P
                                                  475293-96-0P
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    . 475293-93-7P
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     475293-98-2P
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                                                                  475294-12-3P
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                                                  475294-17-8P
                                                                  475294-18-9P
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                                                  475294-22-5P
                                                                  475294-23-6P
     475294-19-0P
                    475294-20-3P
                                   475294-26-9P
                                                  475294-27-0P
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     475294-24-7P
                    475294-25-8P
                                   475294-31-6P
                                                  475294-32-7P
                                                                  475294-33-8P
     475294-29-2P
                    475294-30-5P
                                   475294-36-1P
                                                  475294-37-2P
                                                                  475294-38-3P
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                    475294-35-0P
     475294-39-4P
                    475294-40-7P
                                   475294-41-8P
                                                  475294-42-9P
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                                   475557-24-5P
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation);
     USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
     52-90-4, L Cysteine, reactions
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     70-18-8, Glutathione, reactions
                                          96-41-3, Cyclopentanol
     96-27-5, 3 Mercapto 1 2 propanediol
                                                                   96-45-7,
     2-Imidazolidinethione
                             110-89-4, Piperidine, reactions
                                                               112-30-1,
                 583-39-1, 2 Mercaptobenzimidazole
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     1-Adamantanemethanol
                           872-85-5, 4 Formylpyridine
                                                         1113-41-3,
     L-Penicillamine
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     5 methylcyclohexanol
                            1953-02-2
                                        2349-67-9, 5-Amino-1,3,4-thiadiazole-2-
            3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol
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     5685-05-2, 2-Mercaptothiazole
                                   6325-91-3, 2-Mercapto-5-nitrobenzimidazole
                                          10486-58-5, 2-
     7776-34-3, L Proline, hydrochloride
     Mercaptobenzoselenazole
                              13906-09-7
                                           16691-43-3, 3-Amino-5-mercapto-
                      19246-18-5
                                   24748-68-3, 1H-Imidazole-4-thiol
     1,2,4-triazole
     27231-36-3, 2 Mercapto 5 methylbenzimidazole 29490-19-5,
     5-Methyl-1,3,4-thiadiazole-2-thiol
                                          37052-78-1
                                                       53918-03-9
                                                                    92614-59-0
     283159-88-6
                   475294-53-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
IT
     73472-94-3P
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                                  475294-51-0P
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     475294-55-4P
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                                   475294-58-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
IT
     475293-84-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation);
     USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
RN
     475293-84-6 HCAPLUS
CN
     Propanoic acid, 2-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-, 1-ethyl
```

SACKEY 10/725167 3/31/05 Page 27

ester, monosodium salt (9CI) (CA INDEX NAME)

Na

L15 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:43044 HCAPLUS

DN 138:89500

TI Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress

IN Wang, Bing; Miller, Guy; Janagani, Satyanarayana; Zhang, Wei

PA USA

SO U.S. Pat. Appl. Publ., 55 pp., Cont.-in-part of U. S Provisional Ser. No. 368,456.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 5

ITHII. CITI							
PATENT	NO.	KIND	DATE	APPLICATION NO.	DATE		
PI US 200	3013846	A1	20030116	US 2002-138809	20020503		
US 200	3100750	A1	20030529	US 2002-138032	20020503		
US 660	8196	B2	20030819				
PRAI US 200	1-288649P	P	20010503				
US 200	1-295314P	P	20010601				
US 200	2-368456P	P	20020323				
OG MADDAM	120.00500						

os MARPAT 138:89500 Pyruvate derivs. A-X-CH2C(:W)CONRbRc and A-X-CH:C(W)CONRbRc [A = AB (un) substituted (cyclo) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl, nucleoside, amino acid, di-, tri- or tetrapeptide, CH2COCO2R', or CH:C(OH)CO2R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = S, SO, SO2, S-Y-S {Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; W = :O, :NORa, or N(OH)Rd; Ra = H, (un) substituted alkyl, aryl, aralkyl, or alkenyl; Rb = H, (un) substituted (cyclo)alkyl, aryl, or aralkyl; Rc = H or (un)substituted alkyl; or RbRcN = 5- to 7-membered heterocyclyl; Rd = H, acyl, or (un)substituted alkyl} or their pharmaceutically-acceptable salts were prepared for treating a number of conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, S-[3-(4-methylpiperidino)-2,3dioxopropyl]glutathione was prepared via alkylation of glutathione. Compds. of the invention were evaluated as agents for protection against ischemic damage.

IC ICM C07K005-06

ICS C07H019-16; C07H019-048; C07D279-12; C07D277-60

5685-05-2, 2-Mercaptothiazole

Mercaptobenzoselenazole

3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol

13906-09-7

7776-34-3, L Proline, hydrochloride 10486-58-5, 2-

5331-91-9

6325-91-3, 2-Mercapto-5-nitrobenzimidazole

16691-43-3, 3-Amino-5-mercapto-

SACKEY 10/725167 3/31/05 Page 29

1,2,4-triazole 19246-18-5 24748-68-3, 1H-Imidazole-4-thiol 27231-36-3, 2 Mercapto 5 methylbenzimidazole 29490-19-5,

5-Methyl-1,3,4-thiadiazole-2-thiol 37052-78-1 53918-03-9 283159-88-6 475294-53-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT 73472-94-3P 475294-50-9P 475294-51-0P 475294-52-1P 475294-54-3P 475294-57-6P 475294-58-7P 475294-59-8P 475294-55-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT 475293-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

RN475293-84-6 HCAPLUS

Propanoic acid, 2-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-, 1-ethyl CN ester, monosodium salt (9CI) (CA INDEX NAME)

Na

ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN L15

2003:43014 HCAPLUS AN

DN 138:73002

TI Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress

IN Wang, Bing; Miller, Guy; Janagani, Satyanarayana

PΑ

SO U.S. Pat. Appl. Publ., 52 pp., Cont.-in-part of U.S. Provisional Ser. No. 368,456.

CODEN: USXXCO

DT Patent

LΑ English

FAN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2003013657	A1	20030116	US 2002-138938	20020503
	US 2003100750	A1	20030529	US 2002-138032	20020503
	US 6608196	B2	20030819		
PRAI	US 2001-288649P	P	20010503	•	
	US 2001-295314P	P	20010601		
	US 2002-368456P	P	20020323		
os	MARPAT 138:73002				

AB

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Pyruvate derivs. A-X-CH2C(:NORa)CO-Z [A = (un)substituted (cyclo)alkyl,
     aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl,
     nucleoside, amino acid, di-, tri- or tetrapeptide, CH2COCO2R', or CH:C(OH)CO2R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = S,
     SO, S-Y-S [Y = (un) substituted aryl, heteroaryl, nucleoside, amino acid,
     di-, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys
     or to the nitrogen atom of optionally substituted heterocyclyl; Ra = H,
     (un) substituted alkyl , aryl, aralkyl, or alkenyl; Z = OR or SR, where R =
     (un) substituted (cyclo) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl], including tautomers, stereoisomers,
     and mixts. of these, and their pharmaceutically-acceptable salts, were
     prepared for treating a number of conditions characterized by oxidative stress.
     Certain known and novel pyruvate derivs. are particularly active in
     restoring or preserving metabolic integrity in oxidatively competent cells
     that have been subjected to oxygen deprivation. Thus,
     S-[3-ethoxy-2-(hydroxyimino)-3-oxopropyl]glutathione was prepared by
     alkylation of glutathione with 3-bromo-2-(hydroxyimino)propionic acid Et
     ester. Compds. of the invention were evaluated as agents for protection
     against ischemic damage.
IC
     ICM A61K038-08
          A61K038-06; A61K031-7076; A61K031-7072; A61K031-44; A61K031-401;
          A61K031-198
     514017000; 514018000; 514019000; 514045000; 514049000; 514357000;
NCL
     514408000; 514513000; 514551000; 514564000
CC
     23-18 (Aliphatic Compounds)
     Section cross-reference(s): 1, 34
st
     peptide pyruvate oxime prepn pharmaceutical oxidative stress
IT
     Heart, disease
        (infarction; preparation of pyruvate derivs., including peptide derivs., for
        treating conditions characterized by oxidative stress)
ΙT
     Ischemia
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
IT
     Peptides, preparation
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
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     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
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475294-65-6P
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                                    475294-68-9P
                                                   475294-69-0P
                                                                  475294-70-3P
                    475294-72-5P
                                    475294-73-6P
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                                                                  475294-75-8P
     475294-71-4P
                                    475294-78-1P
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     475294-76-9P
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     475557-24-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation);
     USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
     52-90-4, L Cysteine, reactions 60-56-0, 2-Mercapto-1-methylimidazole 70-18-8, Glutathione, reactions 70-23-5, Ethyl 3-bromopyruvate 85-31-4
IT
     96-27-5, 3 Mercapto 1 2 propanediol 96-41-3, Cyclopentanol
     2-Imidazolidinethione 110-89-4, Piperidine, reactions 112-30-1,
                 583-39-1, 2 Mercaptobenzimidazole 770-71-8,
                            872-85-5, 4 Formylpyridine
     1-Adamantanemethanol
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                      1113-59-3, 3-Bromopyruvic acid
                                                        1490-04-6, 2 Isopropyl
     L-Penicillamine
                            1953-02-2 2349-67-9, 5-Amino-1,3,4-thiadiazole-2-
     5 methylcyclohexanol
             3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol
                                                            5331-91-9
     5685-05-2, 2-Mercaptothiazole 6325-91-3, 2-Mercapto-5-nitrobenzimidazole
     7776-34-3, L Proline, hydrochloride
                                           10486-58-5, 2-
     Mercaptobenzoselenazole
                              13906-09-7
                                            16691-43-3, 3-Amino-5-mercapto-
     1,2,4-triazole 19246-18-5
                                  24748-68-3, 1H-Imidazole-4-thiol
     27231-36-3, 2 Mercapto 5 methylbenzimidazole 29490-19-5,
     5-Methyl-1,3,4-thiadiazole-2-thiol
                                          37052-78-1
                                                       53918-03-9
                  475294-53-2
     283159-88-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
IT
     73472-94-3P
                  475294-50-9P
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                    475294-57-6P
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     475294-55-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
TT
     475293-84-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation);
     USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
     475293-84-6 HCAPLUS
RN
```

CN Propanoic acid, 2-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-, 1-ethyl ester, monosodium salt (9CI) (CA INDEX NAME)

Na

SACKEY 10/725167 3/31/05 Page 32 L15 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN 2003:43013 HCAPLUS AN DN 138:73001 Preparation of pyruvate derivatives for treating conditions characterized ΤI by oxidative stress Wang, Bing; Miller, Guy; Flaim, Stephen F.; Del Balzo, Ughetta; Zhang, IN Wei; Janagani, Satyanarayana; Song, Jiangao PA U.S. Pat. Appl. Publ., 56 pp. SO CODEN: USXXCO DT Patent English LΑ FAN.CNT 5 KIND DATE APPLICATION NO. DATE PATENT NO. ______ ----20020503 20030116 US 2002-138726 ΡI US 2003013656 **A**1 US 2002-138032 20020503 20030529 US 2003100750 A1 US 6608196 B2 20030819 PRAI US 2001-288649P P 20010503 US 2001-295314P Ρ 20010601 US 2002-368456P Ρ 20020323 MARPAT 138:73001 os Pyruvate derivs. A-X-CH2C(:W)CO-Z and A-X-CH:C(W)CO-Z [A = (un)substituted AB (cyclo) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl, nucleoside, amino acid, di-, tri- or tetrapeptide, CH2COCO2R', or CH:C(OH)CO2R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = NR', S, SO, SO2, S-Y-S [Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; W = :0, :NORa, :NNRbRc, or N(OH)Rd, where Ra = H, (un)substituted alkyl, aryl, aralkyl, or alkenyl; Rb = H, (un)substituted (cyclo) alkyl, aryl, or aralkyl; Rc = H or (un) substituted alkyl; or RbRcN = 5- to 7-membered heterocyclyl; Rd = H, acyl, or (un)substituted alkyl; Z = OR, SR, or NRbRc, where R = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl] or their pharmaceutically-acceptable salts were prepared for treating a number of conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, 2-amino-4-[1-

(carboxymethylcarbamoyl) -2-[2-oxo-2-(pentyloxycarbonyl)ethylsulfanyl]ethyl carbamoyl]butyric acid (claimed compound) was prepared from 3-bromopyruvic acid, pentanol, and glutathione.

IC ICM A61K038-08

A61K038-06; A61K031-7076; A61K031-7072; A61K031-198; A61K031-44; A61K031-40; A61K031-21

NCL 514017000; 514042000; 514045000; 514049000; 514357000; 514423000; 514513000; 514564000; 514626000

CC 23-18 (Aliphatic Compounds)

Section cross-reference(s): 1, 34

ST peptide pyruvate prepn pharmaceutical oxidative stress

IT Heart, disease

Lung, disease

(cardiopulmonary inflammatory disorder; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

ΙT Movement disorders

> (claudication; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Mental disorder

Page 33 (cognitive, post-surgical; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) IT Nervous system, disease (degeneration; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) IT Mental disorder (dementia; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) IT Cognition (disorder, post-surgical; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) IT Heart, disease (failure; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) Muscle (fatique; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) TT Injury (head; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) TT Heart, disease (infarction; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) Head, disease IT Spinal cord, disease (injury; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) TT Nerve, disease (peripheral neuropathy; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) IT Ovarian cycle (premenstrual syndrome; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) Alzheimer's disease Anti-inflammatory agents Antiarthritics Antiasthmatics Antidiabetic agents Antirheumatic agents Asthma Diabetes mellitus Inflammation Ischemia Kidney, disease Osteoarthritis Parkinson's disease Rheumatoid arthritis Transplant and Transplantation (preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress) Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT

IT

(spinal cord; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

```
ΙT
    Brain, disease
        (stroke; preparation of pyruvate derivs., including peptide derivs., for
       treating conditions characterized by oxidative stress)
IT
        (trauma; preparation of pyruvate derivs., including peptide derivs., for
       treating conditions characterized by oxidative stress)
IT
     475294-13-4P
    RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
       conditions characterized by oxidative stress)
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ΙT
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                   475294-35-0P
                                   475294-36-1P
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                                                  475294-42-9P
                                                                 475294-43-0P
    475294-39-4P
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    475294-44-1P
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    475294-49-6P
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                   475294-72-5P
                                   475294-73-6P
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     475294-76-9P
                   475294-77-0P
                                   475294-78-1P
                                                  475294-81-6P
                                                                 475294-82-7P
    475557-24-5P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation);
    USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
       conditions characterized by oxidative stress)
IT
     52-90-4, L Cysteine, reactions
                                    60-56-0, 2-Mercapto-1-methylimidazole
                                      70-23-5, Ethyl 3-bromopyruvate
     70-18-8, Glutathione, reactions
                                          96-41-3, Cyclopentanol
     96-27-5, 3 Mercapto 1 2 propanediol
                                                                   96-45-7,
     2-Imidazolidinethione
                           110-89-4, Piperidine, reactions
                                                               112-30-1,
                583-39-1, 2 Mercaptobenzimidazole 770-71-8,
    1-Decanol
    1-Adamantanemethanol
                           872-85-5, 4 Formylpyridine
                                                         1113-41-3,
    L-Penicillamine
                      1113-59-3, 3-Bromopyruvic acid
                                                        1490-04-6, 2 Isopropyl
                                      2349-67-9, 5-Amino-1,3,4-thiadiazole-2-
     5 methylcyclohexanol
                           1953-02-2
            3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol
                                                           5331-91-9
     5685-05-2, 2-Mercaptothiazole 6325-91-3, 2-Mercapto-5-nitrobenzimidazole
     7776-34-3, L Proline, hydrochloride
                                         10486-58-5, 2-
    Mercaptobenzoselenazole
                             13906-09-7 16691-43-3, 3-Amino-5-mercapto-
     1,2,4-triazole
                    19246-18-5
                                  24748-68-3, 1H-Imidazole-4-thiol
     27231-36-3, 2 Mercapto 5 methylbenzimidazole 29490-19-5,
     5-Methyl-1,3,4-thiadiazole-2-thiol
                                          37052-78-1
                                                      53918-03-9
     283159-88-6
                  475294-53-2
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of pyruvate derivs., including peptide derivs., for treating
       conditions characterized by oxidative stress)
    73472-94-3P
                  475294-50-9P
                                  475294-51-0P
                                                 475294-52-1P
                                                                475294-54-3P
     475294-55-4P
                   475294-57-6P
                                  475294-58-7P
                                                 475294-59-8P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
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SACKEY 10/725167 3/31/05 Page 35

> (preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT 475293-84-6P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

RN475293-84-6 HCAPLUS

Propanoic acid, 2-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-, 1-ethyl CN ester, monosodium salt (9CI) (CA INDEX NAME)

Na

ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN L15

2002:868895 HCAPLUS AN

137:369738 DN

ΤI Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress

IN Wang, Bing; Miller, Guy; Flaim, Stephen F.; Del Balzo, Ughetta; Zhang, Wei; Janagani, Satyanarayana; Song, Jingao

PΑ Galileo Laboratories, Inc., USA

PCT Int. Appl., 143 pp. so

CODEN: PIXXD2

Patent DT

English LΑ

FAN.		5 CENT	NO.	•		KIN	D	DATE			APPL:	ICAT:	ION 1	NO.		Di	ATE	
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PΙ	WO	2002090314			A1		20021114		WO 2002-US14057					20020503				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
											EC,							
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
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			ТJ,	TM														
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	ΑT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	US	2003	1007	50		A1		2003	0529	•	US 2	002-	1380	32		2	0020	503
	US	6608	196			B2		2003	0819									
	ΕP	1392	639			A1		2004	0303		EP 2	002-	7693	25		2	0020	503
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
PRAI	US	2001	-288	649P	-	P	-	2001	0503		•							
	US	2001	-295	314P		P		2001	0601									

US 2002-368456P P 20020323 WO 2002-US14057 W 20020503

OS MARPAT 137:369738

Pyruvate derivs. A-X-CH2C(:W)CO-Z and A-X-CH:C(W)CO-Z [A = (un)substituted AB (cyclo) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl, nucleoside, amino acid, di-, tri- or tetrapeptide, CH2COCO2R', or CH:C(OH)CO2R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = NR', S, SO, SO2, S-Y-S [Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; W = :0, :NORa, :NNRbRc, or N(OH)Rd, where Ra = H, (un)substituted alkyl, aryl, aralkyl, or alkenyl; Rb = H, (un)substituted (cyclo) alkyl, aryl, or aralkyl; Rc = H or (un) substituted alkyl; or RbRcN = 5- to 7-membered heterocyclyl; Rd = H, acyl, or (un)substituted alkyl; Z = OR, SR, or NRbRc, where R = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl] or their pharmaceutically-acceptable salts were prepared for treating a number of conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, 2-amino-4-[1-(carboxymethylcarbamoyl) -2-[2-oxo-2-(pentyloxycarbonyl)ethylsulfanyl]ethyl carbamoyl]butyric acid (claimed compound) was prepared from 3-bromopyruvic acid, pentanol, and glutathione.

IC ICM C07C069-66

ICS C07C323-60; C07D295-00; A61K031-12; A61K031-16; A61K031-215; A61K031-223; A61P009-10

CC 23-18 (Aliphatic Compounds)

Section cross-reference(s): 1, 34

ST peptide pyruvate prepn pharmaceutical oxidative stress

IT Heart, disease

Lung, disease

(cardiopulmonary inflammatory disorder; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Movement disorders

(claudication; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Mental disorder

(cognitive, post-surgical; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Nervous system, disease

(degeneration; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Mental disorder

(dementia; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Cognition

(disorder, post-surgical; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Heart, disease

(failure; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Muscle

(fatigue; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

IT Injury

(head; preparation of pyruvate derivs., including peptide derivs., for treating conditions characterized by oxidative stress)

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IT
    Heart, disease
        (infarction; preparation of pyruvate derivs., including peptide derivs., for
        treating conditions characterized by oxidative stress)
IT
    Head, disease
     Spinal cord, disease
        (injury; preparation of pyruvate derivs., including peptide derivs., for
        treating conditions characterized by oxidative stress)
IT
    Nerve, disease
        (peripheral neuropathy; preparation of pyruvate derivs., including peptide
        derivs., for treating conditions characterized by oxidative stress)
IT
     Ovarian cycle
        (premenstrual syndrome; preparation of pyruvate derivs., including peptide
        derivs., for treating conditions characterized by oxidative stress)
IT
    Alzheimer's disease
    Anti-inflammatory agents
    Antiarthritics
    Antiasthmatics
    Antidiabetic agents
    Antirheumatic agents
    Asthma
    Diabetes mellitus
     Inflammation
     Ischemia
    Kidney, disease
    Osteoarthritis
     Parkinson's disease
    Rheumatoid arthritis
    Transplant and Transplantation
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
IT
    Peptides, preparation
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
IT
        (spinal cord; preparation of pyruvate derivs., including peptide derivs.,
        for treating conditions characterized by oxidative stress)
    Brain, disease
IT
        (stroke; preparation of pyruvate derivs., including peptide derivs., for
        treating conditions characterized by oxidative stress)
IT
    Surgery
        (trauma; preparation of pyruvate derivs., including peptide derivs., for
        treating conditions characterized by oxidative stress)
ΙT
    475294-13-4P
    RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of pyruvate derivs., including peptide derivs., for treating
        conditions characterized by oxidative stress)
                                                349444-96-8P
IT
    27784-53-8P
                   73472-98-7P
                                114669-82-8P
                                                               349445-15-4P
    475293-79-9P
                    475293-80-2P
                                   475293-81-3P
                                                  475293-82-4P
                                                                  475293-83-5P
    475293-84-6P
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IT

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475294-20-3P
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475294-19-0P
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                                             475294-42-9P
                                                            475294-43-0P
475294-39-4P
               475294-40-7P
475294-44-1P
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                              475294-46-3P
                                             475294-47-4P
                                                            475294-48-5P
               475294-60-1P
                              475294-63-4P
                                             475294-64-5P
                                                            475294-65-6P
475294-49-6P
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                                             475294-69-0P
                                                            475294-70-3P
475294-66-7P
                              475294-68-9P
               475294-72-5P
                              475294-73-6P
                                             475294-74-7P
                                                            475294-75-8P
475294-71-4P
475294-76-9P
               475294-77-0P
                                             475294-79-2P
                              475294-78-1P
                                                            475294-80-5P
                              475557-24-5P
475294-81-6P
               475294-82-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
   (preparation of pyruvate derivs., including peptide derivs., for treating
   conditions characterized by oxidative stress)
52-90-4, L Cysteine, reactions
                               60-56-0, 2-Mercapto-1-methylimidazole
70-18-8, Glutathione, reactions 70-23-5, Ethyl 3-bromopyruvate 85-31-4
96-27-5, 3 Mercapto 1 2 propanediol
                                    96-41-3, Cyclopentanol 96-45-7,
2-Imidazolidinethione
                       110-89-4, Piperidine, reactions
                                                         112-30-1,
            583-39-1, 2 Mercaptobenzimidazole 770-71-8,
                      872-85-5, 4 Formylpyridine
1-Adamantanemethanol
                                                   1113-41-3,
                 1113-59-3, 3-Bromopyruvic acid
L-Penicillamine
                                                   1490-04-6, 2 Isopropyl
5 methylcyclohexanol
                      1953-02-2 2349-67-9, 5-Amino-1,3,4-thiadiazole-2-
        3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol
                                                      5331-91-9
5685-05-2, 2-Mercaptothiazole
                              6325-91-3, 2-Mercapto-5-nitrobenzimidazole
                                    10486-58-5, 2-
7776-34-3, L Proline, hydrochloride
Mercaptobenzoselenazole
                        13906-09-7
                                      16691-43-3, 3-Amino-5-mercapto-
1,2,4-triazole 19246-18-5
                            24748-68-3, 1H-Imidazole-4-thiol
27231-36-3, 2 Mercapto 5 methylbenzimidazole 29490-19-5,
5-Methyl-1,3,4-thiadiazole-2-thiol
                                   37052-78-1
                                                 53918-03-9
                                                             92614-59-0
283159-88-6
             475294-53-2
RL: RCT (Reactant); RACT (Reactant or reagent)
   (preparation of pyruvate derivs., including peptide derivs., for treating
   conditions characterized by oxidative stress)
73472-94-3P
             475294-50-9P
                            475294-51-0P
                                           475294-52-1P
                                                           475294-54-3P
475294-55-4P
              475294-57-6P
                            475294-58-7P
                                            475294-59-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of pyruvate derivs., including peptide derivs., for treating
   conditions characterized by oxidative stress)
475293-84-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
   (preparation of pyruvate derivs., including peptide derivs., for treating
   conditions characterized by oxidative stress)
475293-84-6 HCAPLUS
Propanoic acid, 2-oxo-3-[(5-sulfo-1H-benzimidazol-2-yl)thio]-, 1-ethyl
ester, monosodium salt (9CI) (CA INDEX NAME)
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RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN L15 2000:249217 HCAPLUS AN 133:135264 DN TТ Study on synthesis and their anticruzain activities of phenylallylthio-ether, sulfinyl and sulfonyl derivatives Ma, Hongmei; Cheng, Maosheng; Wang, Qinghe; Wang, Qianli; Pan, Li; Shen, ΑU Jianmin No.2 Lab. of Drug Synthesis, Shenyang Pharmaceutical Univ., Shenyang, CS 110015, Peop. Rep. China SO Zhongguo Yaowu Huaxue Zazhi (2000), 10(1), 29-32 CODEN: ZYHZEF; ISSN: 1005-0108 PB Zhongguo Yaowu Huaxue Zazhi Bianjibu DT Journal LA Chinese AB Twenty-one title compds. were prepared For example, reaction of 2-mercapto-1H-benzimidazole with trans-3-chloro-1-phenylpropene in EtOH in the presence of K2CO3 gave 53.0% 2-[trans-(1-phenylpropenyl)thio]-1Hbenzimidazole. 2-[Trans-(1-phenylpropenyl)thio]-4,5-diphenyl-1Hbenzimidazole showed in vitro cruzain inhibitor activity. CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1, 7 ST phenylallylthiobenzimidazole prepn anticruzain Trypanosoma cruzi IT (Chagas' disease from; synthesis and anticruzain activities of phenylallylthio ether derivs.) ΙT 37353-41-6, Cysteine protease RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (inhibitors; synthesis and anticruzain activities of phenylallylthio ether derivs.) IT 69747-26-8P 148527-96-2P 148527-98-4P 286963-32-4P 286963-34-6P 286963-36-8P 286963-40-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis and anticruzain activities of phenylallylthio ether derivs.) ΙT 156701-44-9P 286963-46-0P 286963-42-6P 286963-44-8P 286963-48-2P 286963-50-6P 286963-51-7P 286963-53-9P 286963-55-1P 286963-57-3P

286963-63-1P 286963-65-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

(synthesis and anticruzain activities of phenylallylthio ether derivs.)

study, unclassified); SPN (Synthetic preparation); BIOL (Biological

286963-60-8P

286963-59-5P

study); PREP (Preparation)

SACKEY 10/725167 3/31/05 Page 40

IT 583-39-1 21087-29-6 53918-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and anticruzain activities of phenylallylthio ether derivs.)

IT 286963-65-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and anticruzain activities of phenylallylthio ether derivs.)

RN 286963-65-3 HCAPLUS

CN 1H-Benzimidazole-5-sulfonic acid, 2-[[(2E)-3-phenyl-2-propenyl]thio]-, monosodium salt (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Na

L15 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1984:94412 HCAPLUS

DN 100:94412

TI BENZAMIN: preparation and use as stabilizers in silver halide materials of bidentate heterocyclic compounds containing an amino group

AU Pollet, Robert; Sels, Francis

CS Agfa-Gevaert Naamloze Vennootschap, Neth.

SO Research Disclosure (1983), 236, 382-3 (No. 23630) CODEN: RSDSBB; ISSN: 0374-4353

DT Journal: Patent

LA English

PATENT NO. KIND DATE APPLICATION NO. DATE

PI 'RD 236030 19831210

PRAI RD 1983-236030 19831210

GI

AB Photog. stabilizers and fog inhibitors which also increase sensitivity of Ag halide material comprise I (R = CH2NEt2, (CH2)5NH2, SCH2CH2R2R3, CH2SCH2CH2NMe2, where R2, R3 = H, Me, Et or R2 and R3 combine to form 6-member ring containing O and N; R1 = H, SO3Na), II, III, IV, V (R4 = H, SO3H; R5 = CH2N(Me)CH2, SCH2CH2N(Me)CH2CH2S) and VI. Thus, 22 g of compound I (R = SCH2CH2NH2; R1 = H) was prepared by adding dropwise a suspension containing 2-mercaptobenzimidazole 30, chloroethylamine chlorohydrate 23.2 g, EtOH (anhydrous) 1 L to a solution of Na 9.2 g in EtOH (anhydrous) 750 mL, refluxing the obtained mixture for 10 h, filtering off the formed NaCl, and treating the filtrate with borite following by concentration by evaporation CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)

ST stabilizer fog inhibitor photog

IT Photographic fog inhibitors

Photographic stabilizers

(bidentate heterocyclic compds. containing amino group as)

IT 5805-58-3P 7673-89-4P 17124-80-0P 88580-45-4P

88580-46-5P 88580-47-6P 88580-48-7P 88580-49-8P

88580-50-1P 88580-51-2P

RL: PREP (Preparation)

(photog. stabilizer, preparation of)

IT 583-39-1

RL: USES (Uses)

(reaction with chloroethylamine chlorohydrate in presence of sodium in alc. solution, in preparation of photog. stabilizing agents)

IT 2382-96-9 58089-27-3

RL: USES (Uses)

(reaction with dimethylaminoethyl chloride chlorohydrate, in preparation of photog. stabilizer)

IT 870-24-6 4584-46-7

RL: USES (Uses)

(reaction with mercaptobenzimidazole derivs., in preparation of photog. stabilizing agents)

IT 3647-69-6

RL: USES (Uses)

(reaction with mercaptosulfobenzimidazole, in preparation of photog. stabilizer)

IT 4857-04-9

RL: USES (Uses)

SACKEY 10/725167 3/31/05 Page 42

> (reaction with methylamine and dimethoxyethane, in preparation of photog. stabilizer)

88580-45-4P 88580-46-5P 88580-50-1P IT

RL: PREP (Preparation)

(photog. stabilizer, preparation of) 88580-45-4 HCAPLUS

RN

1H-Benzimidazole-5-sulfonic acid, 2-[[2-(dimethylamino)ethyl]thio]-, CN monosodium salt (9CI) (CA INDEX NAME)

$$HO_3S$$
 H
 $S-CH_2-CH_2-NMe_2$

) Na

RN 88580-46-5 HCAPLUS

1H-Benzimidazole-5-sulfonic acid, 2-[[2-(4-morpholinyl)ethyl]thio]-, CN monosodium salt (9CI) (CA INDEX NAME)

$$HO_3S$$
 N
 $S-CH_2-CH_2$
 N
 O

D Na

RN88580-50-1 HCAPLUS

=>

1H-Benzimidazole-5-sulfonic acid, 2,2'-[(methylimino)bis(2,1-CNethanediylthio)]bis- (9CI) (CA INDEX NAME)